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New 1-aryl-2-azetidinone derivs. - useful as elastase inhibitors

Patent Assignee: CNRS CENT NAT RECH SCI (CNRS); SCIENTIFIQUE (SCIE-N)

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EP 375527	A	19900627	EP 89403512	A	19891215	199026 B
AU 8946917	A	19900621				199031
PT 92612	A	19900629				199031
FR 2640621	A	19900622	FR 8816732	A	19881219	199032
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JP 3034966	A	19910214	JP 89329376	A	19891219	199113
US 5030628	A	19910709	US 89452774	A	19891219	199130

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Designated States (Regional): AT BE CH DE ES FR GB GR IT LI LU NL SE

Abstract (Basic): EP 375527 A

1-Aryl-2-azetidinones of formula (I) are new; R1 and R2 = F, Cl, Br, I, CF3, COOR5, CN, CONHR5 or COR5; R5 = alkyl or aryl; R3 = F, Cl, Br, I, OCOR6, OSO2R6, OP(OR6)2 or S(R6)2+; R6 = alkyl; perfluoroalkyl or aryl; R4 = H, alkyl COOR7, CONHR7, NO2, CF3, SO2R7, (CH2)nOR7 or OR7; R7 = H, alkyl or aryl; n = 1-18.

Pref. R1 = F or Cl; R2 = F, Cl or Br; R3 = F, Cl Br or mesyloxy, with CH2R3 in the ortho position; R4 = H.

USE - (I) are irreversible inhibitors of serine elastases, esp. leucocytic and pancreatic elastases. They may be used to treat inflammatory and degenerative disorders, e.g. emphysema, bronchitis, arthritis, rheumatic fever, skin ageing, periodontosis, gingivitis, atherosclerosis, glomerulonephritis, respiratory distress syndrome, septic shock, Crohn's disease, gout and pancreatitis.

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Abstract (Equivalent): US 5030628 A

N-Aryl-azetidinones of formula (I) are new. In (I) R1 and R2 = F, Br, Cl or I, CF3, COOR5, CN, CONHR5 or COR5 (R5 = alkyl or aryl), R3 = Cl, Br, I, OCOR6, OSO2R6, OPO(R6)2 or S(R6)2X (R6 = alkyl, perfluoroalkyl, or aryl, X = halogen); R4 = H, alkyl, COOR7, CONHR7, NO2, CF3, CN, SO2R7, (CH2)OR7 or OR7 (R7 = H, alkyl or aryl; n = 1-18).

A typical cpd. is N-(tert.butyl-2-dimethylsilyloxy-methyl-phenyl)-3-bromo-3-fluoro-2-azetidinone. (I) may be prepd. from (I; R3 = OH) by reacting it with a suitable reagent to introduce an R3 gp.

USE - As serine elastase inhibitors, useful in treating pulmonary emphysema, bronchial inflammation, rheumatoid and infections, arthritis, rheumatic fever, cutaneous aging, periodontitis, gingivitis, arterial sclerosis, glomerulonephritis; respiratory distress syndrome, septic shock, Crohns disease, gout and pancreatitis.

Title Terms: NEW; ARYL; AZETIDINONE; DERIVATIVE; USEFUL; ELASTASE; INHIBIT

Derwent Class: B03

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F410 G010 G011 G012 G013 G014 G015 G016 G019 G040 G100 H2 H211 H341
H401 H441 H481 H541 H581 H601 H602 H603 H604 H608 H609 H621 H622
H681 H683 H684 H685 H689 J011 J012 J013 J014 J131 J211 J212 J231
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L9 L941 M121 M122 M124 M125 M129 M131 M136 M139 M141 M142 M148 M210
M211 M212 M213 M214 M215 M216 M220 M221 M222 M231 M232 M233 M240
M262 M271 M272 M273 M280 M281 M282 M283 M311 M312 M313 M314 M315
M316 M321 M322 M323 M331 M332 M333 M334 M340 M342 M343 M344 M353
M362 M373 M391 M392 M393 M411 M413 M510 M521 M531 M532 M533 M540
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